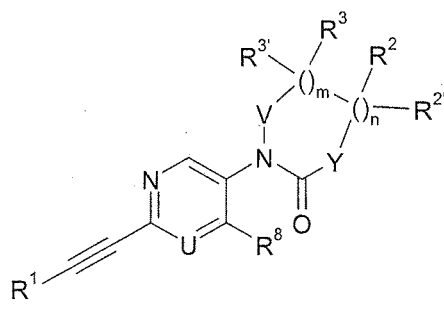


The present disclosure relates to compounds of formula I and to their pharmaceutically acceptable salts, in cases where this applies to mixtures of enantiomers or diastereomers or their enantiomerically or diastereomerically pure forms, to these compounds as pharmaceutically active substances, to the processes for their production as well as to the use in the treatment or prevention of disorders, relating to allosteric modulators for the mGluR5 receptor, such as schizophrenia, cognition, fragile X syndrome or autism, and to pharmaceutical compositions containing the compounds of formula I.

In a first aspect, there is provided ethynyl derivatives of formula I



wherein

U is N or CH,

R⁸ is hydrogen, halogen, C₁₋₄-alkyl or C₁₋₄-alkoxy;

Y is -N(R⁴)-, -O- or -C(R⁵R^{5'})-;

wherein R⁴ is hydrogen or C₁₋₄-alkyl and R⁵/R^{5'} are independently hydrogen, hydroxy, C₁₋₄-alkyl or C₁₋₄-alkoxy;

V is -N(R⁶)- or -C(R⁷R^{7'}),

wherein R⁶ is hydrogen or C₁₋₄-alkyl and R⁷/R^{7'} are independently from each other hydrogen, C₁₋₄-alkyl, CH₂-C₁₋₄alkoxy or may form together with the carbon atom to which they are attached a

C₃-C₆-cycloalkyl;

R¹ is phenyl or heteroaryl, which are optionally substituted by halogen, C₁₋₄-alkyl or C₁₋₄-alkoxy;

m is 0 or 1; in case m is 1,

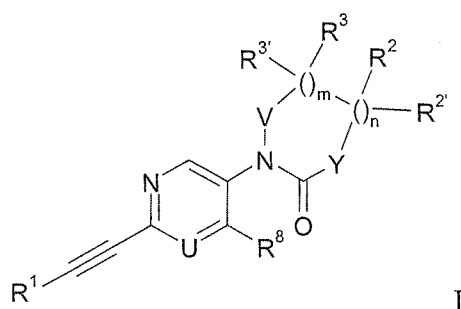
R³/R^{3'} are independently from each other hydrogen, C₁₋₄-alkyl, CH₂-C₁₋₄alkoxy or may form together with the carbon atom to which they are attached a C₃-C₆-cycloalkyl;

n is 0 or 1; in case n is 1,

R²/R^{2'} are independently from each other hydrogen, C₁₋₄-alkyl, CH₂-C₁₋₄alkoxy or may form together with the carbon atom to which they are attached a C₃-C₆-cycloalkyl;

Claims

1. Ethynyl derivatives of formula I



I

5 wherein

U is N or CH,

R⁸ is hydrogen, halogen, C₁₋₄-alkyl or C₁₋₄-alkoxy;

Y is -N(R⁴)-, -O- or -C(R⁵R^{5'})-;

wherein R⁴ is hydrogen or C₁₋₄-alkyl and R⁵/R^{5'} are independently hydrogen, hydroxy,

10 C₁₋₄-alkyl or C₁₋₄-alkoxy;

V is -N(R⁶)- or -C(R⁷R^{7'}),

wherein R⁶ is hydrogen or C₁₋₄-alkyl and R⁷/R^{7'} are independently from each other

hydrogen, C₁₋₄-alkyl, CH₂- C₁₋₄alkoxy or may form together with the carbon atom to which they are attached a C₃-C₆-cycloalkyl;

15 R¹ is phenyl or heteroaryl, which are optionally substituted by halogen, C₁₋₄-alkyl or C₁₋₄-alkoxy;

m is 0 or 1; in case m is 1,

R³/R^{3'} are independently from each other hydrogen, C₁₋₄-alkyl, CH₂- C₁₋₄alkoxy or may form together with the carbon atom to which they are attached a C₃-C₆-cycloalkyl;

20 n is 0 or 1; in case n is 1,

R²/R^{2'} are independently from each other hydrogen, C₁₋₄-alkyl, CH₂- C₁₋₄alkoxy or may form together with the carbon atom to which they are attached a C₃-C₆-cycloalkyl;

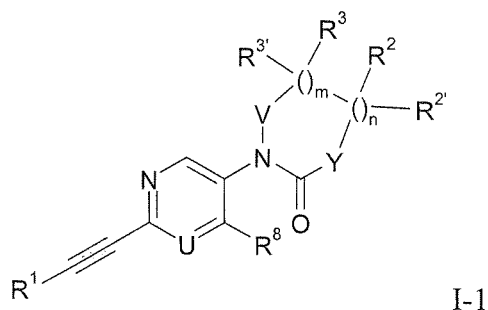
or if m is 1 and n is 0, R³ and R⁷ may form together with the carbon atoms to which they are attached a C₄₋₆-cycloalkyl;

25 or if m is 1 and n is 1, R² and R³ or R³ and R⁷ may form together with the carbon atoms to which they are attached a C₄₋₆-cycloalkyl;

or to a pharmaceutically acceptable acid addition salt, to a racemic mixture, or to its corresponding enantiomer and/or optical isomer and/or stereoisomer thereof.

2. Ethynyl derivatives of formula I-1 according to claim 1

5



wherein

U is N or CH;

R⁸ is hydrogen;

10 Y is CH₂, O, -N(CH₃)- or -N(CH₂CH₃)-;

V is CH₂, -NH- or -N(CH₃)-;

R¹ is phenyl or pyridinyl, which are optionally substituted by halogen;

m is 0 or 1; in case m is 1,

R³/R^{3'} are independently from each other hydrogen or C₁₋₄-alkyl,

15 n is 0 or 1; in case n is 1;

R²/R^{2'} are independently from each other hydrogen or C₁₋₄-alkyl;

or a pharmaceutically acceptable acid addition salt, a racemic mixture, or its corresponding enantiomer and/or optical isomer and/or stereoisomer thereof.

20 3. Ethynyl derivatives of formula I-1 according to claims 1 or 2, which compounds are

4,4-Dimethyl-1-(6-phenylethynyl-pyridin-3-yl)-pyrrolidin-2-one

6,6-Dimethyl-3-(6-phenylethynyl-pyridin-3-yl)-[1,3]oxazinan-2-one

3,4,4-Trimethyl-1-(6-phenylethynyl-pyridin-3-yl)-imidazolidin-2-one

1-[6-(4-Fluoro-phenylethynyl)-pyridin-3-yl]-3,4,4-trimethyl-imidazolidin-2-one

25 1-[6-(3-Fluoro-phenylethynyl)-pyridin-3-yl]-3,4,4-trimethyl-imidazolidin-2-one

3,4,4-Trimethyl-1-(6-pyridin-3-ylethynyl-pyridin-3-yl)-imidazolidin-2-one

1-[6-(3-Fluoro-phenylethynyl)-pyridin-3-yl]-4,4-dimethyl-pyrrolidin-2-one

5,5-Dimethyl-2-(6-phenylethynyl-pyridin-3-yl)-pyrazolidin-3-one